REMARKS

It is respectfully requested that the above amendments be reconsidered in view of the above amendments and the following remarks and that all of the claims remaining in this application be allowed.

Amendments

Claims 1, 2 and 16 were amended as suggested by the Examiner to replace "contains" with "consists of".

Claims 1, 2 and 16 were amended to exclude coverage to R^5 groups which are -alkyl-biaryl, -alkyl-aryl-heteroaryl, -alkyl-heteroaryl-aryl or -alkyl-heteroaryl-heteroaryl (including substitution on any aryl or heteroaryl group). Specifically, this was accomplished by inserting the definition for substituted aryl and substituted heteroaryl into these claims as found at pages 45-46 and 51-52 respectfully of the specification and then deleting from this Markush group any possibility that such a definition could read on one or more of -alkyl-biaryl, -alkyl-aryl-heteroaryl, -alkyl-heteroaryl-aryl or -alkyl-heteroaryl-heteroaryl.

Grammatical corrections were introduced in to Claims 1, 2 and 16 to the extent that these claims were amended to include a ":" after "selected from the group consisting of" in line 2 of the R^5 substituent. Further, a ";" was introduced after the term "substituted heterocyclic" in this same substituent.

Claim 12 was also amended by deleting from the Markush group any R^5 substituent which reads on -alkyl-biaryl, -alkyl-aryl-heteroaryl, -alkyl-heteroaryl-aryl or -alkyl-heteroaryl-heteroaryl.

Claim 12 was further amended by deleting the redundant "methyl".

Claim 22 was amended as suggested by the Examiner by replacing "as well as" with "or".

No new matter has been added to the amended claims.

The above amendments are made without prejudice or disclaimer to the subject matter removed from these claims. Applicants specifically reserve the right to pursue the canceled subject matter in a separate divisional application and further reserve the right to pursue an interference in the divisional application for this canceled subject matter with the cited Durette, et al. reference.

These amendments have been made in accordance with 37 C.F.R. §1.121 as amended on November 7, 2000. As required, attached hereto is an appendix illustrating the changes requested to Claims 1, 2, 12, 16 and 22. In view of the numerous brackets used in the nomenclature of Claim 12, the deleted groups have been additionally highlighted in bold.

Entry of these amendments is requested.

In view of the above, Claims 1-4, 7, 10, 12-13 and 15-22 remain in this application.

Rejections Under 35 U.S.C. §112, second paragraph

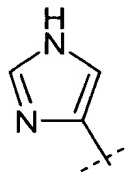
Claims 1-4, 7, 10, 12-13 and 15-22 stand rejected under 35 U.S.C. §112, second paragraph, for the reasons set forth in the Office Action. For the following reasons, this rejection is obviated-in-part and traversed-in-part.

Specifically, this rejection is obviated as it relates to the objected to term "contains" found in Claims 1, 2 and 16. As kindly suggested by the Examiner, this term has been replaced with "consists of".

This rejection is also obviated as it relates to the duplicate term "methyl" found in Claim 12 since the duplicate of this term has been deleted.

This rejection is still further obviated as it relates to the recitation "as well as" in Claim 22. As kindly suggested by the Examiner, this term has been replaced with "or".

Finally, this rejection is traversed as it relates to the use of the term "4-imidazolyl" in page 131, line 28, of Claim 12. Claim 12 is dependent from Claims 1 and 2 both of which recite that X can be heteroaryl or substituted heteroaryl. Further, 4-imidazolyl is a heteroaryl having the structure:



Accordingly, Applicants submit that Claims 1 and 2 provide adequate antecedent basis for this term in Claim 12.

In view of the above, withdrawal of this rejection is requested.

Rejection Under 35 U.S.C. §102(e)

Claims 2, 13 and 15-21 stand rejected under 35 U.S.C. §102(e) as anticipated by Durette, et al., U.S. Patent No. 6,291,511 ("Durette"). For the following reasons, this rejection is traversed.

Initially, anticipation requires that each and every element of the claimed invention be disclosed in the prior art reference. *Lewmar Marine, Inc. v. Barient, Inc.*, 827 F.2d 744, 3 USPQ2d 1766 (Fed. Cir. 1987). A reference that merely contains substantially the same elements is insufficient to anticipate the claimed invention. *Jamesbury Corp. v. Litton Industrial Products, Inc.*, 756 F.2d 1556, 225 USPQ 253 (Fed. Cir. 1985).

Secondly, Durette is directed to compounds which, at the equivalent R^5 position, require an alkyl-, alkenyl- or alkynyl-biaryl[1] group. Contrarily, the now claimed invention specifically excludes R^5 substituents which read on any of such biaryl groups. Specifically, in order to arrive at these biaryl compounds, it was necessary for the R^5 group to be either substituted aryl or substituted heteroaryl wherein the substituent was either aryl or heteroaryl. However, Applicants definition of substituted aryl and substituted heteroaryl as now employed in Claims 1, 2 and 16 excludes this possibility. In addition, Claim 12 has been amended to delete reference to any biaryl substituents.

In view of the above, Durette no longer reads on the claims of this invention and, accordingly, the rejection under 35 U.S.C. §102(e) is in error. Withdrawal of this rejection is requested.

Rejection Under 35 U.S.C. §102(e)/ §103(a)

Claims 2, 13 and 15-21 stand rejected under 35 U.S.C. §103(a) over Durette.[2] For the following reasons, this rejection is traversed.

Initially, the test for non-obviousness articulated by the Court of Appeals for the Federal Circuit in *In re Vaeck* requires consideration of two factors: (1) whether the prior art would have suggested to those of ordinary skill in the art that they should practice the claimed methods; and (2) whether the prior art would also have provide a reasonable expectation of success to such a skilled artisan. *In re Vaeck*, 947 F.2d 488, 20 U.S.P.Q.2d 1438 (Fed. Cir. 1991). The first requirement goes to the question of motivation, and refers to a well established holding from earlier case law that there must be some logical reason at the

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[1] By its definition, the term biaryl in Durette is believed to read on -biaryl, -alkyl-aryl-heteroaryl, -alkyl-heteroaryl-aryl or -alkyl-heteroaryl-heteroaryl.

[2] Applicants submit that this rejection should properly be a rejection under 35 U.S.C. §102(e)/§103(a) and have construed this rejection as such. If the Examiner disagrees, a call to the undersigned is requested.

time of the invention for modifying the cited references along the lines of the invention; otherwise the use of the teachings as evidence of non-obviousness will entail prohibited hindsight. *Ex parte Stauber and Eberle*, 208 U.S.P.Q. 945, 946 (Bd. App. 1980).

Secondly, as noted above, the claimed compounds are specifically distinguished over Durette by virtue of the fact that, in the claimed compounds, the R^5 substituent does not include any of the biaryl groups of Durette. Moreover, since Durette is limited to such biaryl groups, one skilled in the art would lack any motivation to prepare compounds lacking such a group. In fact, the skilled artisan would be lead to believe that such groups are necessary.

Absent such motivation, Applicants submit that this rejection is in error. Withdrawal of this rejection is requested.

In view of the above, this application is now in condition for allowance. A notice to that effect is earnestly solicited.

Respectfully submitted,

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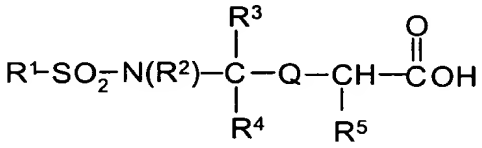
Date: June 5, 2002

Attachment to Reply and Amendment dated June 5, 2002

Marked-up Copy

Claims 1, 2, 16 and 18 were amended as follows:

--1. (thrice amended) A compound of formula I:



I

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group [contains] consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl,

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino,

thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino

groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-

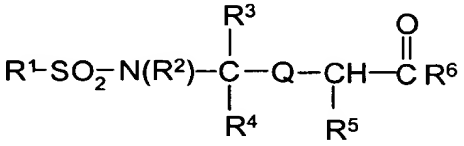
heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl;

with the proviso that when R^5 is $=CH-X$ then (H) is removed from the formula and X is not hydroxyl;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof.

2. (thrice amended) A compound of formula IA below:



IA

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group [contains] consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl,

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino,

mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl,

-$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

12. (amended) The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4-[ϕ-CH(CH_3)O-]benzyl, 4-[ϕ-CH(COOH)O-]-benzyl, 4-[BocNHCH_2C(O)NH-]benzyl, 4-chlorobenzyl, 4-[NH_2CH_2C(O)NH-]benzyl, 4-carboxybenzyl, 4-[CbzNHCH_2CH_2NH-]benzyl, 3-hydroxy-4-(ϕ-OC(O)NH-)benzyl, 4-[HOOCCH_2CH_2C(O)NH-]benzyl, benzyl, 4-[2′-carboxylphenoxy-]benzyl, 4-[ϕ-C(O)NH-]benzyl, 3-carboxybenzyl, 4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, ϕ-CH_2CH_2-, 4-nitrobenzyl, 2-carboxybenzyl, 4-[dibenzylamino]-benzyl, 4-[(1′-cyclopropylpiperidin-4′-yl)-C(O)NH-]benzyl, 4-[-NHC(O)CH_2NHBoc]benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-[-NHC(O)CH(CH_3)NHBoc]benzyl, 4-[-NHC(O)CH(CH_2ϕ)NHBoc]-benzyl, isobutyl, methyl, 4-[CH_3C(O)NH-]benzyl, -CH_2-(3-indolyl), *n*-butyl, *t*-butyl-OC(O)CH_2-, *t*-butyl-OC(O)CH_2CH_2-, H_2NC(O)CH_2-, H_2NC(O)CH_2CH_2-, BocNH-$(CH_2)_4$-, *t*-butyl-OC(O)-$(CH_2)_2$-, HOOCCH_2-, HOOC$(CH_2)_2$-, $H_2N(CH_2)_4$-, isopropyl, (1-naphthyl)-CH_2-, (2-naphthyl)-CH_2-, (2-thiophenyl)-CH_2-, ϕ-CH_2-OC(O)NH-$(CH_2)_4$-, cyclohexyl-CH_2-, benzyloxy-CH_2-, HOCH_2-, 5-(3-N-benzyl)imidazolyl-CH_2-, 2-pyridyl-CH_2-, 3-pyridyl-CH_2-, 4-pyridyl-CH_2-, 5-(3-N-methyl)imidazolyl-CH_2-, N-benzylpiperid-4-yl-CH_2-, N-Boc-piperidin-4-yl-CH_2-, N-(phenyl-carbonyl)piperidin-4-yl-CH_2-, $H_3CSCH_2CH_2$-, 1-N-benzylimidazol-4-yl-CH_2-, *iso*-propyl-C(O)NH-$(CH_2)_4$-, *iso*-butyl-C(O)NH-$(CH_2)_4$-, phenyl-C(O)NH-$(CH_2)_4$-, benzyl-C(O)NH-$(CH_2)_4$-, allyl-C(O)NH-$(CH_2)_4$-, 4-(3-N-methylimidazolyl)-CH_2-, 4-imidazolyl, 4-[$(CH_3)_2NCH_2CH_2CH_2$-O-]benzyl, 4-[$(benzyl)_2$N-]-benzyl, 4-aminobenzyl, allyloxy-C(O)NH$(CH_2)_4$-, allyloxy-C(O)NH$(CH_2)_3$-, allyloxy-C(O)NH$(CH_2)_2$-, NH_2C(O)CH_2-, ϕ-CH=, 2-pyridyl-C(O)NH-$(CH_2)_4$-, 4-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 3-methylthien-2-yl-C(O)NH-$(CH_2)_4$-, 2-pyrrolyl-C(O)NH-$(CH_2)_4$-, 2-furanyl-C(O)NH-$(CH_2)_4$-, 4-methylphenyl-SO_2-N(CH_3)CH_2C(O)NH$(CH_2)_4$-, 4-[cyclopentylacetylenyl]-benzyl, 4-[-NHC(O)-(N-Boc)-pyrrolidin-2-yl)]-benzyl-, 1-N-methylimidazol-4-yl-CH_2-, 1-N-methylimidazol-5-yl-CH_2-, imidazol-5-yl-CH_2-, 6-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, **[4-[2′-carboxymethylphenyl]-benzyl]**, 4-[-NHC(O)NHC$H_2CH_2CH_2$-ϕ]-benzyl, 4-[-NHC(O)NHCH_2CH_2-ϕ]-benzyl,

-$CH_2C(O)NH(CH_2)_4\phi$, 4-[$\phi(CH_2)_4O$-]-benzyl, 4-[-C≡C-ϕ-4′ϕ]-benzyl, 4-[-C≡C-CH_2-O-$S(O)_2$-4′-CH_3-ϕ]-benzyl, 4-[-C≡C-$CH_2NHC(O)NH_2$]-benzyl, 4-[-C≡C-CH_2-O-4′-$COOCH_2CH_3$-ϕ]-benzyl, 4-[-C≡C-$CH(NH_2)$-cyclohexyl]-benzyl, -$(CH_2)_4NHC(O)CH_2$-3-indolyl, -$(CH_2)_4NHC(O)CH_2CH_2$-3-indolyl, -$(CH_2)_4NHC(O)$-3-(5-methoxyindolyl), -$(CH_2)_4NHC(O)$-3-(1-methylindolyl), -$(CH_2)_4NHC(O)$-4-(-$SO_2(CH_3)$-ϕ), -$(CH_2)_4NHC(O)$-4-(C(O)CH_3)-phenyl, -$(CH_2)_4NHC(O)$-4-fluorophenyl, -$(CH_2)_4NHC(O)CH_2O$-4-fluorophenyl, 4-[-C≡C-(2-pyridyl)]-benzyl, 4-[-C≡C-CH_2-O-phenyl]-benzyl, 4-[-C≡C-CH_2OCH_3]-benzyl, 4-[-C≡C-(3-hydroxyphenyl)]-benzyl, 4-[-C≡C-CH_2-O-4′-(-C(O)OC_2H_5)phenyl]-benzyl, 4-[-C≡C-$CH_2CH(C(O)OCH_3)_2$]-benzyl, 4-[-C≡C-CH_2NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl), 3-aminobenzyl, 4-[-C≡C-$CH_2CH($ $NHC(O)CH_3)C(O)OH$]-benzyl, **[methyl,]** -$CH_2C(O)NHCH(CH_3)\phi$, -$CH_2C(O)NHCH_2$-(4-dimethylamino)-ϕ, -$CH_2C(O)NHCH_2$-4-nitrophenyl, -$CH_2CH_2C(O)N(CH_3)CH_2$-ϕ, -$CH_2CH_2C(O)NHCH_2CH_2$-(N-methyl)-2-pyrrolyl, -$CH_2CH_2C(O)NHCH_2CH_2CH_2CH_3$, -$CH_2CH_2C(O)NHCH_2CH_2$-3-indolyl, -$CH_2C(O)N(CH_3)CH_2$phenyl, -$CH_2C(O)NH(CH_2)_2$-(N-methyl)-2-pyrrolyl, -$CH_2C(O)NHCH_2CH_2CH_2CH_3$, -$CH_2C(O)NHCH_2CH_2$-3-indolyl, -$(CH_2)_2C(O)NHCH(CH_3)\phi$, -$(CH_2)_2C(O)NHCH_2$-4-dimethylaminophenyl, -$(CH_2)_2C(O)NHCH_2$-4-nitrophenyl, -$CH_2C(O)$NH-4-[-$NHC(O)CH_3$-phenyl], -$CH_2C(O)$NH-4-pyridyl, -$CH_2C(O)$NH-4-[dimethylaminophenyl], -$CH_2C(O)$NH-3-methoxyphenyl, -$CH_2CH_2C(O)$NH-4-chlorophenyl, -$CH_2CH_2C(O)$NH-2-pyridyl, -$CH_2CH_2C(O)$NH-4-methoxyphenyl, -$CH_2CH_2C(O)$NH-3-pyridyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, -$(CH_2)_3NHC(NH)NH$-SO_2-4-methylphenyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, -$(CH_2)_4NHC(O)NHCH_2CH_3$, -$(CH_2)_4NHC(O)$NH-phenyl, -$(CH_2)_4NHC(O)$NH-4-methoxyphenyl, 4-[4′-pyridyl-C(O)NH-]-benzyl, 4-[3′-pyridyl-C(O)NH-]-benzyl, 4-[-NHC(O)NH-3′-methylphenyl]-benzyl, 4-[-$NHC(O)CH_2NHC(O)$NH-3′-methylphenyl]-benzyl, 4-[-NHC(O)-(2′,3′-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2′,3′-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[-OCH_2CH_2-1′-(4′-pyrimidinyl)-piperazinyl]-benzyl, 4-[-OCH_2CH_2-(1′-piperidinyl)]-benzyl, 4-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2$-(1′-

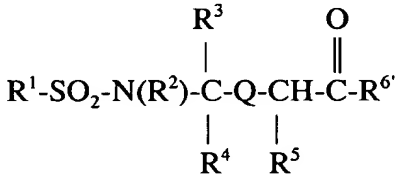
piperidinyl)]-benzyl-, -CH_2-3-(1,2,4-triazolyl), 4-[-$OCH_2CH_2CH_2$-4-(3′-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-$OCH_2CH_2N(\phi)CH_2CH_3$]-benzyl, 4-[-OCH_2-3′-(N-Boc)-piperidinyl]-benzyl, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH_2CH_2O-]-benzyl, 4-[-OCH_2CH_2-(N-morpholinyl)]-benzyl, 4-[-O-(3′-(N-Boc)-piperidinyl]-benzyl, 4-[-OCH_2CH(NHBoc)CH_2cyclohexyl]-benzyl, *p*-[OCH_2CH_2-(N-piperidinyl]-benzyl, 4-[-$OCH_2CH_2CH_2$-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH_2CH_2-(N-homopiperidinyl)-benzyl, 4-[-NHC(O)-3′-(N-Boc)-piperidinyl]-benzyl, 4-[-$OCH_2CH_2N(benzyl)_2$]-benzyl, -CH_2-2-thiazolyl, 3-hydroxybenzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-NHC(S)NHCH_2CH_2-(N-morpholino)]-benzyl, 4-[-$OCH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[$CH_3(CH_2)_4NH$-]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino-]benzyl, 4-[-NHC(O)-4′-piperidinyl]benzyl, 4-[-NHC(O)CH(NHBoc)$(CH_2)_4$NHCbz]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-1′-yl]-benzyl, p-[-$OCH_2CH_2CH_2$-1′-(4′-methyl)-piperazinyl]-benzyl, -$(CH_2)_4$NH-Boc, 3-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 3-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)$benzyl]-benzyl, 4-[-NHC(S)NH$CH_2CH_2CH_2$-(N-morpholino)]-benzyl, 4-[-OCH_2CH_2-(N-morpholino)]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)NH-(4′-cyanophenyl)]-benzyl, 4-[-OCH_2COOH]-benzyl, 4-[-OCH_2COO-*t*-butyl]-benzyl, 4-[-NHC(O)-5′-fluoroindol-2-yl]-benzyl, 4-[-NHC(S)NH$(CH_2)_2$-1-piperidinyl]-benzyl, 4-[-$N(SO_2CH_3)(CH_2)_3$-$N(CH_3)_2$]-benzyl, 4-[-NHC(O)CH_2CH(C(O)$OCH_2\phi$)-NHCbz]-benzyl, 4-[-$NHS(O)_2CF_3$]-benzyl, 3-[-O-(N-methylpiperidin-4′-yl]-benzyl, 4-[-C(=NH)NH_2]-benzyl, 4-[-$NHSO_2$-CH_2Cl]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydroisoquinolin-2′-yl]-benzyl, 4-[-NHC(S)NH$(CH_2)_3$-N-morpholino]-benzyl, 4-[-NHC(O)CH($CH_2CH_2CH_2CH_2NH_2$)NHBoc]-benzyl, 4-[-$C(O)NH_2$]-benzyl, 4-[-NHC(O)NH-3′-methoxyphenyl]-benzyl, 4-[-OCH_2CH_2-indol-3′-yl]-benzyl, 4-[-$OCH_2C(O)$NH-benzyl]-benzyl, 4-[-$OCH_2C(O)O$-benzyl]-benzyl, 4-[-$OCH_2C(O)OH$]-benzyl, 4-[-OCH_2-2′-(4′,5′-dihydro)imidazolyl]-benzyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2′-pyrrolidinyl-N-SO_2-4′-methylphenyl]-benzyl, 4-[-NHC(O)$NHCH_2CH_2CH_3$]-benzyl, [4-

aminobenzyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-(3-methoxypyrrol-2-yl)-piperazinyl]-benzyl, 4-[-O-(N-methylpiperidin-4′-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3′-yl]-benzyl, 4-[-NHC(O)-pyridin-2′-yl]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)-(N-(4′-CH3-ϕ-SO_2)-L-pyrrolidin-2′-yl)]-benzyl, 4-[-$NHC(O)NHCH_2CH_2$-ϕ]-benzyl, 4-[-$OCH_2C(O)NH_2$]-benzyl, 4-[-$OCH_2C(O)NH$-*t*-butyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-phenyl)-piperidinyl]-benzyl, 4-[-$NHSO_2$-CH=CH_2]-benzyl, 4-[-$NHSO_2$-CH_2CH_2Cl]-benzyl, -$CH_2C(O)NHCH_2CH_2N(CH_3)_2$, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(4′-$(CH_3)_2NC(O)O$-)phenyl)-C(O)NH-]benzyl, 4-[-NHC(O)-1′-methylpiperidin-4′-yl-]benzyl, 4-(dimethylamino)benzyl, 4-[-NHC(O)-(1′-N-Boc)-piperidin-2′-yl]-benzyl, 3-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH_2-O-benzyl)-NH-]benzyl, [$BocNHCH_2C(O)NH$-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[$(Et)_2NCH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(1′-Boc-4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[ϕ$CH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(perhydroindolin-2′-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-yl)piperidin-1-yl]ethyl, 4-[(1′-Boc-perhydroindolin-2′-yl)-C(O)NH-]benzyl, 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl)amino]-benzyl, 4-[*N*-vinylsulfonyl)amino]benzyl-, 4-[2-(2-azabicyclo[3.2.2]octan-2-yl)ethyl-O-]benzyl, 4-[4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(ϕNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl,4-(ϕCH_2NHC(S)NH)benzyl, 3-[(1′-Boc-piperidin-2′-yl)C(O)NH-]benzyl, 3-[piperidin-2′-yl-C(O)NH-]benzyl, 4-[(3′-Boc-thiazolidin-4′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-NHC(S)NH)benzyl, 4-(CH_3-NHC(S)NH)benzyl-, 4-($H_2NCH_2CH_2CH_2C(O)NH$)benzyl, 4-($BocHNCH_2CH_2CH_2C(O)NH$)benzyl, 4-(pyridin-4′-yl-CH_2NH)benzyl, 4-[(*N*,*N*-di(4-*N*,*N*-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[ϕCH_2OCH_2(BocHN)CHC(O)NH]benzyl, 4-[(piperidin-4′-yl)C(O)NH-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-C(O)NH)butyl, 4-(pyridin-4′-yl-C(O)NH)butyl, 4-(pyridin-3′-yl-C(O)NH)benzyl, 4-[$CH_3NHCH_2CH_2CH_2C(O)NH$-]benzyl, 4-[$CH_3N(Boc)CH_2CH_2CH_2C(O)NH$-]benzyl, 4-(aminomethyl)benzyl,

4-[$\phi CH_2OCH_2(H_2N)CHC(O)NH$]benzyl, 4-[(1′,4′-di(Boc)piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(*N*-toluenesulfonylpyrrolidin-2′-yl)C(O)NH-]butyl, 4-[-NHC(O)-4′-piperidinyl]butyl, 4-[-NHC(O)-1′-N-Boc-piperidin-2′-yl]-benzyl, 4-[-NHC(O)-piperidin-2′-yl]-benzyl, 4-[(1′-N-Boc-2′,3′-dihydroindolin-2′-yl)-C(O)NH]-benzyl, 4-(pyridin-3′-yl-CH_2NH)benzyl, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(piperidin-1′-yl)C(O)CH_2-O-]benzyl, 4-[$(CH_3)_2CH)_2NC(O)CH_2$-O-]benzyl, 4-[HO(O)C(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[$\phi CH_2O(O)C$(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[-NHC(O)-2′-methoxyphenyl]-benzyl, 4-[(pyrazin-2′-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH_2)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-(2′-formyl-1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH_2NH-)benzyl, *N*-Cbz-$NHCH_2$-, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[CH_3(*N*-Boc)$NCH_2C(O)NH$-]benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-3′-yl]-benzyl, 4-[$CH_3NHCH_2C(O)NH$-]benzyl, $(CH_3)_2NC(O)CH_2$-, 4-(*N*-methylacetamido)benzyl, 4-(1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH_2NH-)benzyl, 4-[$(CH_3)_2NHCH_2C(O)NH$-]benzyl, (1-toluenesulfonylimidizol-4-yl)methyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NC(O)NH$-]benzyl, 4-[$CH_3OC(O)NH$-]benzyl, 4-[$(CH_3)_2NC(O)O$-]benzyl, 4-[$(CH_3)_2NC(O)N(CH_3)$-]benzyl, 4-[$CH_3OC(O)N(CH_3)$-]benzyl, 4-(*N*-methyltrifluoroacetamido)benzyl, 4-[(1′-methoxycarbonylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)NH-]benzyl, 4-[(piperidin-4′-yl)C(O)O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)-O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)NH-]benzyl, 3-[$(CH_3)_2NC(O)O$-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)O-]benzyl, 4-(*N*-toluenesulfonylamino)benzyl, 4-[$(CH_3)_3CC(O)NH$-]benzyl, 4-[(morpholin-4′-yl)C(O)NH-]benzyl, 4-[$(CH_3CH_2)_2NC(O)NH$-]benzyl, 4-[-C(O)NH-(4′-piperidinyl)]benzyl, 4-[(2′-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2′-methylphenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NS(O)_2O$-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1′-yl]benzyl, 4-[(thiomorpholin-4′-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4′-yl)C(O)O-]benzyl,

3-nitro-4-($CH_3OC(O)CH_2O$-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (2*H*-1,4-benzoxazin-3(4*H*)-one-7-yl)methyl-, 4-[$(CH_3)_2NS(O)_2NH$-]benzyl, 4-[$(CH_3)_2NS(O)_2N(CH_3)$-]benzyl, 4-[(thiomorpholin-4′-yl)C(O)O-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)O-]benzyl, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[(2′-methylpyrrolidin-1′-yl)-, (pyridin-4-yl)methyl-, 4-[(piperazin-4′-yl)-C(O)O-]benzyl, 4-[(1′-Boc-piperazin-4′-yl)-C(O)O-]benzyl, 4-[(4′-acetylpiperazin-1′-yl)C(O)O-]benzyl, *p*-[(4′-methanesulfonyl-piperazin-1′-yl)-benzyl, 3-nitro-4-[(morpholin-4′-yl)-C(O)O-]benzyl, 4-{$[(CH_3)_2NC(S)]_2N$-}benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[$(CH_3)_2NS(O)_2$-]benzyl, **[4-(imidazolid-2′-one-1′-yl)benzyl]**, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 1-N-benzyl-imidazol-4-yl-CH_2-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-$N(SO_2)(CH_3)CH_2CH_2CH_2N(CH_3)_2$]benzyl, **[4-(3′-formylimidazolid-2′-one-1′-yl)benzyl]**, 4-[$NHC(O)CH(CH_2CH_2CH_2CH_2NH_2)NHBoc$]-benzyl, [2′-[4″-hydroxy-4″-(3′′′-methoxythien-2′′′-yl)piperidin-2″-yl]ethoxy]benzyl, and *p*-$[(CH_3)_2NCH_2CH_2N(CH_3)C(O)O$-]benzyl.

16. (thrice amended) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group

consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group [contains] consisting of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,
hydroxyl,
acylamino,
alkyl,
alkoxy,
aryloxy,
aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl,
substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl,

-$NRS(O)_2$-substituted heteroaryl, -$NRS(O)_2$-heterocyclic, -$NRS(O)_2$-substituted heterocyclic, -$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, -$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with -SO_2NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -$S(O)_2$-alkyl, -$S(O)_2$-substituted alkyl, -$S(O)_2$-cycloalkyl, -$S(O)_2$-substituted cycloalkyl, -$S(O)_2$-alkenyl, -$S(O)_2$-substituted alkenyl, -$S(O)_2$-aryl, -$S(O)_2$-substituted aryl, -$S(O)_2$-heteroaryl, -$S(O)_2$-substituted heteroaryl, -$S(O)_2$-heterocyclic, -$S(O)_2$-substituted heterocyclic, -$OS(O)_2$-alkyl, -$OS(O)_2$-substituted alkyl,

-$OS(O)_2$-aryl, -$OS(O)_2$-substituted aryl, -$OS(O)_2$-heteroaryl, -$OS(O)_2$-substituted heteroaryl, -$OS(O)_2$-heterocyclic, -$OS(O)_2$-substituted heterocyclic, -OSO_2-NRR where R is hydrogen or alkyl, -$NRS(O)_2$-alkyl, -$NRS(O)_2$-substituted alkyl, -$NRS(O)_2$-aryl, -$NRS(O)_2$-substituted aryl, -$NRS(O)_2$-heteroaryl, -$NRS(O)_2$-substituted heteroaryl, -$NRS(O)_2$-heterocyclic, -$NRS(O)_2$-substituted heterocyclic, -$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, -$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with -SO_2NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

$R^{6'}$ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -$NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, -$OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH_2COOR^{11} where R^{11} is alkyl, and -$NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is -$C(X)NR^7$- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then $R^{6'}$ is not *t*-butoxy.

22. (amended) A compound selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-phenylalanine;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenyl-alanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-D-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine 1-(trimethyacetoxy)methyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine;

N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine *tert*-butyl ester;

N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine

or pharmaceutically acceptable salts thereof [as well as] or any of the ester compounds recited above wherein one ester group is replaced with another ester group selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester and *tert*-butyl ester.